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(54) **Water-in-Oil Emulsions Containing  
Water-Soluble Alkyl Glycosides**

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### Description

The present invention relates to stable cosmetic preparations, in particular water-in-oil emulsions (W/O emulsions) which are protected to prevent them from decomposing physically.

Skin care in the sense of the present invention is to be understood primarily as supporting and reinforcing and restoring, if necessary, the natural function of the skin as a barrier against environmental influences (such as dirt, foreign substances, microorganisms) and against the loss of endogenous substances (such as water, electrolytes, natural moisture binding substances).

When the natural function of the skin is disturbed, this may result in increased absorption of toxic and/or allergenic foreign substances or to infestation with pathogenic microorganisms and consequently to inflammatory or allergic skin reactions.

Human skin is constantly losing a certain amount of moisture through the body's natural mechanisms such as transpiration. However, skin also loses some important functional components due to external influences such as wind and weather and bathing every day. Although healthy skin is quite capable of compensating for this loss, the purpose of skin care products is to support the skin in compensating for this loss. However, precisely when the natural regeneration ability of the skin is not sufficient, e.g., due to severe stress or even an illness, regulation of skin moisture and other relevant components is essential.

The goal of skin care is to restore and promote normal functioning of the skin and appendages.

The following methods of skin care are known:

- a) Occlusion of the skin: If the skin is covered with a film of lipid or lipid and water (traditional creams and ointments), the barrier function of the skin is not restored directly. The lipid film is an outer physical protective layer.
- b) Treating the skin with essential fatty acids: Fatty acids are currently used in some dermatological preparations for treatment of dry skin.
- c) Treating the skin with keratolytic substances (e.g., urea, salicylic acid, etc.). These substances have a keratolytic or proteolytic effect, depending on the type of substance and the concentration in which it is used, or they may promote penetration, cause thinning of the epidermis, relieve itching or bind water. Their use is limited essentially to medical indications.
- d) Treating the skin with moisture regulating substances (e.g., glycerine, sorbitol, etc.). The group of these substances is of particular interest because it includes many very effective representatives. One disadvantage is that most of these substances are either expensive or they can be incorporated into cosmetic preparations only under complicated and expensive conditions. Furthermore, the statement made in point c) above also applies to many representatives of this group.

Cosmetic formulations having skin care properties include in particular the cosmetic emulsions, namely W/O emulsions.

Emulsions of this type can be used without an active ingredient, and good effects are generally achieved even so, but on the other hand, emulsions containing active ingredient(s) have become more and more

successful. One object of the present invention was to make available W/O emulsions which can function as a vehicle for active ingredients of a wide variety of types but also have excellent dermatological properties even without an active ingredient.

Another disadvantage of many water-in-oil emulsions of the related art is that they are not stable with respect to physical decomposition. The most common unwanted behavior is usually referred to as oil separation, which means that the oil phase gradually separates from the aqueous phase. This is manifested, for example, in oil droplets separating out of a W/O cream (water-in-oil cream). However, once an emulsion begins to break down, this process cannot be reversed with simple means. At least, the average consumer does not have access to such means.

W/O emulsions are especially sensitive to the presence of strong surfactants which have a high solubility in water in comparison with emulsifiers which have a high solubility in fat.

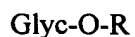
In the past, W/O emulsions have always been destroyed by the addition of strong surfactants from the state of the art, resulting in phase separation.

International Patent No. WO-A 92 02 594 discloses alkyl glycosides as emulsifiers for drilling mud systems. European Patent 458,600 A describes cosmetic emulsions with acyl glycosides as emulsifiers.

Thus, the object of the present invention was on the whole to eliminate the disadvantages of the state of the art. In particular, stable W/O emulsions for skin care products are to be made available.

It has surprisingly been found that using one or more water-soluble alkyl glycosides for production of cosmetic and/or dermatological W/O emulsions for skin care products eliminates the disadvantages of the state of the art, and this solves the problem posed as the object of this invention.

The alkyl glycosides used according to this invention are characterized by the structure:



where R, an aglycon, characterizes a branched or unbranched alkyl group with 5 to 18 carbon atoms, preferably an unbranched alkyl group with 8 to 10 carbon atoms.

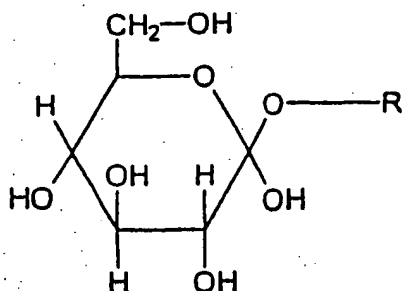
Glyc- characterizes a monosaccharide sugar group which is attached by a glycoside linkage to the aglycon R.

Advantageous embodiments of the present invention are obtained when Glyc- is selected from the group of hexosyl groups, i.e., glucosyl, mannosyl, galactosyl and fructosyl.

In all cases, it is essential to this invention that the selected alkyl glycosides have a good solubility in water.

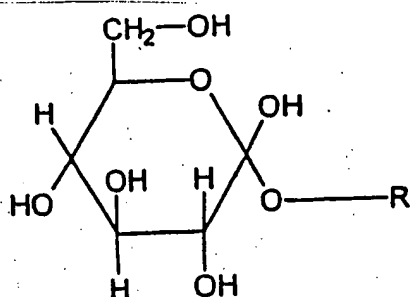
The present invention is preferably embodied by the use of one or more water-soluble alkyl glycosides to produce cosmetic and/or dermatological W/O emulsions with a skin care effect, containing one alkyl glycoside or a mixture of several different alkyl glycosides, i.e., glycosides in which Glyc- indicates a glucosyl group.

Alkyl glycosides are characterized by the structure:



( $\alpha$ -D-glucoside)

and

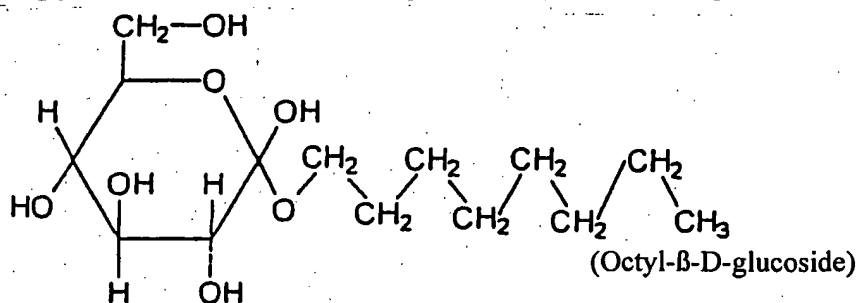


( $\beta$ -D-glucoside).

R characterizes a branched or unbranched alkyl group with 5 to 14 carbon atoms, preferably an unbranched alkyl group with 8 to 10 carbon atoms.

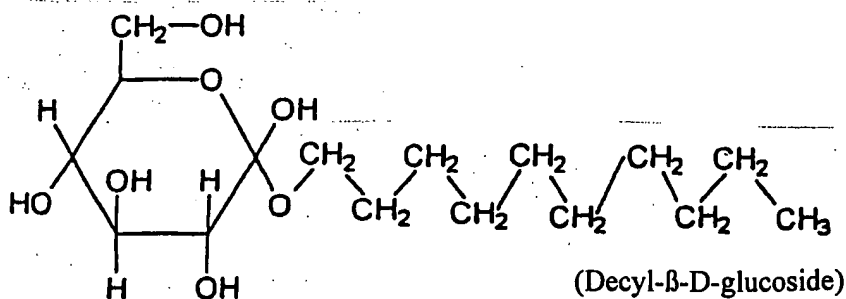
The alkyl glycosides from both  $\alpha$ -D-glucosides and  $\beta$ -D-glucosides used according to this invention have proven advantageous per se, but the  $\beta$ -D-glucosides (or their mirror image forms, although these are not as readily accessible) are preferred. This is due to the fact that the  $\alpha$ -D-glucosides in general have a much lower water solubility than the  $\beta$ -D-glucosides.

The alkyl glycosides are characterized in particular by the following structures:



(Octyl- $\beta$ -D-glucoside)

and



(Decyl- $\beta$ -D-glucoside)

The emulsions accessible by the method according to this invention preferably contain up to 0.5 percent by weight alkyl glycosides, especially up to 0.3 percent by weight, most especially up to 0.3 [sic; 0.2 ?] percent by weight, each based on the total weight of the W/O emulsion. A concentration of 0.001 to 0.20 wt%, based on the total weight of the W/O emulsion, is especially preferred.

In particular, it is also advantageous to select the concentration of the alkyl glycosides used according to this invention so that it is lower than the respective critical micelle concentration (CMC).

Since the alkyl glycosides are strong surfactants, it was surprising that adding alkyl glycosides to W/O emulsions would

- yield a stable product,
- form cosmetic emulsions that meet especially exacting standards,
- lead to especially smooth emulsions,
- form emulsions that are especially good for skin care,
- lead to vehicles for a variety of active ingredients.

The W/O emulsions according to this invention necessarily contain a W/O emulsifier, an emulsifier mixture that would still produce an W/O emulsion even without the addition of the alkyl glycosides used according to this invention.

Without alkyl glycosides, however, the W/O emulsions obtained have a much lower stability, their cosmetic elegance leaves much to be desired, they tend to be more viscous than smooth and their skin care effect is not as pronounced. Furthermore, such W/O emulsions are not as suitable for use as vehicles for active ingredients.

These alkyl glycosides thus improve the properties of the W/O emulsion, but they do not have any W/O emulsifying effect themselves.

Those skilled in the art will of course be aware that cosmetic preparations which meet exacting standards are not generally conceivable without the conventional additives and vehicles, which include, for example, fillers, substances to improve consistency, perfumes, coloring agents, emulsifiers, additional active ingredients such as vitamins or proteins, sun guards, stabilizers, antioxidants, insect repellants, alcohol, water, soap or substances with an antimicrobial, proteolytic or keratolytic activity, etc.

Accordingly, the compositions according to this invention may be used as skin protection cream, cleansing milk, sun screen lotion, nutrient cream, day or night cream, etc. It is optionally possible and advantageous to use the compositions according to this invention as a base for pharmaceutical formulations.

The W/O emulsions accessible according to this invention are suitable as skin care cosmetics and/or dermatological preparations. The W/O emulsions according to this invention are produced to advantage by adding the alkyl glycosides and the other water-soluble components to the aqueous phase, adding the oil-soluble components to the fat phase and then combining the aqueous phase and the oil phase at a temperature at which both phases are present in liquid form and then homogenizing the mixture.

The following examples are presented to illustrate the present invention in greater detail but without limiting the invention to these examples. Instead, those skilled in the art would be able to make modifications on the basis of their technical expertise without going beyond the scope of the present invention.

The amounts in the examples are given in percent by weight (wt%), based on the total composition.

Example 1

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	2.50
Paraffin wax	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	10.00
Cetearyl octanoate	10.00
Beeswax	4.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.01
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 2

	Percent by weight (wt%)
Cholesterol	1.50
Paraffin wax	3.00
Liquid petrolatum	5.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.01
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 3

	Percent by weight (wt%)
Lanolin alcohols	2.50
Paraffin wax	6.00
Beeswax	1.00
Liquid petrolatum	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.01
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 4

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	2.50
Paraffin wax	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	10.00
Cetearyl octanoate	10.00
Beeswax	4.00
Glycerine	5.00
Octyl- $\beta$ -D-glucoside	0.05
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 5

	Percent by weight (wt%)
Cholesterol	1.50
Paraffin wax	3.00
Liquid petrolatum	5.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Octyl- $\beta$ -D-glucoside	0.05
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 6

	Percent by weight (wt%)
Lanolin alcohols	2.50
Paraffin wax	6.00
Beeswax	1.00
Liquid petrolatum	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.05
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 7

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	1.50
PEG-40 sorbitan heptaisostearate	1.50
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	15.00
Liquid petrolatum	8.00
Paraffin wax	6.00
2-Octyldodecanol	8.00
Aluminum stearate	0.20
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.01
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 8

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	1.50
PEG-40 sorbitan heptaisostearate	1.50
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	15.00
Liquid petrolatum	8.00
Paraffin wax	6.00
2-Octyldodecanol	8.00
Aluminum stearate	0.20
Glycerine	5.00
Octyl- $\beta$ -D-glucoside	0.05
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 9

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	2.50
Paraffin wax	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	10.00
Cetearyl octanoate	10.00
Beeswax	4.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.003
Perfume, preservatives, additives	as needed
Water	to 100.00 total



Example 10

	Percent by weight (wt%)
Cholesterol	1.50
Paraffin wax	3.00
Liquid petrolatum	5.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.003
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 11

	Percent by weight (wt%)
Lanolin alcohols	2.50
Paraffin wax	6.00
Beeswax	1.00
Liquid petrolatum	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.003
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 12

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	2.50
Paraffin wax	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	10.00
Cetearyl octanoate	10.00
Beeswax	4.00
Glycerine	5.00
Octyl- $\beta$ -D-glucoside	0.005
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 13

	Percent by weight (wt%)
Cholesterol	1.50
Paraffin wax	3.00
Liquid petrolatum	5.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Octyl- $\beta$ -D-glucoside	0.005
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 14

	Percent by weight (wt%)
Lanolin alcohols	2.50
Paraffin wax	6.00
Beeswax	1.00
Liquid petrolatum	3.00
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	20.00
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.003
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 15

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	1.50
PEG 40 sorbitan heptaisostearate	1.50
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	15.00
Liquid petrolatum	8.00
Paraffin wax	6.00
2-Octyldodecanol	8.00
Aluminum stearate	0.20
Glycerine	5.00
Decyl- $\beta$ -D-glucoside	0.003
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Example 16

	Percent by weight (wt%)
Polyglyceryl 3-diisostearate	1.50
PEG 40 sorbitan heptaisostearate	1.50
Paraffin oil DAB 9 [German Pharmacopoeia, 9 <sup>th</sup> edition]	15.00
Liquid petrolatum	8.00
Paraffin wax	6.00
2-Octyldodecanol	8.00
Aluminum stearate	0.20
Glycerine	5.00
Octyl- $\beta$ -D-glucoside	0.005
Perfume, preservatives, additives	as needed
Water	to 100.00 total

Comparative experiment 1:

A W/O emulsion was prepared with the composition according to Example 11 by combining

fat phase: lanolin alcohols, paraffin wax, beeswax, liquid petrolatum and paraffin oil according to DAB 9 [German Pharmacopoeia, 9<sup>th</sup> edition], temperature 75°C

aqueous phase: glycerine, decyl- $\beta$ -D-glucoside, water (not including perfume, preservatives, additives), temperature 75°C

A smooth emulsion was formed immediately.

Comparative experiment 2:

A W/O emulsion was prepared according to Comparative experiment 1, the only difference being that no decyl  $\beta$ -glucoside was added. It took ten minutes for a viscous emulsion to be formed.

Comparative experiment 3:

A W/O emulsion was prepared with the composition according to Example 11 by combining

fat phase: lanolin alcohols, paraffin wax, beeswax, liquid petrolatum and paraffin oil according to DAB 9 [German Pharmacopoeia, 9<sup>th</sup> edition], temperature 75°C

aqueous phase: glycerine, decyl- $\beta$ -D-glucoside, water (not including perfume, preservatives, additives), temperature 25°C

A smooth emulsion was formed almost immediately.

Comparative experiment 4:

A W/O emulsion was prepared according to Comparative Experiment 3, the only difference being that no decyl  $\beta$ -glucoside was added. It took about twenty minutes for an emulsion to be formed, and even then it was unstable and was not acceptable cosmetically.

*[Claims are in English]*